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L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 1999 ACS ACCESSION NUMBER: 1997:518308 CAPLUS

DOCUMENT NUMBER: 127:121718

TITLE: Preparation of 2-chloro-5-chloromethylthiazole.

INVENTOR(S):
Kraatz, Udo

PATENT ASSIGNEE(S): Bayer A.-G., Germany SOURCE: Eur. Pat. Appl., 4 pp.

CODEN: EPXXDW

LANGUAGE:

Patent German

INT. PATENT CLASSIF.:

MAIN:

C07D277-32

SECONDARY:

C07D277-36

CLASSIFICATION:

DOCUMENT TYPE:

28-7 (Heterocyclic Compounds (More Than One Hetero

Atom))

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. -----_____ ______ EP 780384 A2 19970625 EP 780384 A3 19970709 EP 96-119776 19961210

R: BE, CH, DE, ES, FR, GB, IT, LI, NL

DE 19548417 A1 19970626 DE 95-19548417 19951222 US 96-764952 19971021 19961213 Α us 5679796 JP 09176140 A2 19970708 CN 1157284 A 19970820 JP 96-353342 CN 96-117934 19961217 19961220 DE 95-19548417 19951222

PRIORITY APPLN. INFO.:

CASREACT 127:121718 OTHER SOURCE(S):

ABSTRACT:

Title compd. (I) was prepd. by treatment of 5-methylene-1,3-thiazolidine-2thione (II) and N-alkylcarbonyl- or N-benzoyl derivs. thereof with a chlorinating agent optionally in the presence of a diluent. Thus, II in CHCl3 at -10.degree. was treated with Cl to give 92.8% I of 87% purity.

SUPPL. TERM:

chloromethylthiazole chloro prepn;

methylenethiazolidinethione chlorination

INDEX TERM:

Chlorination

(chlorination of 5-methylene-1,3-thiazolidine-2-thione and derivs; prepn. of 2-chloro-5-chloromethylthiazole)

INDEX TERM:

105827-91-6P, 2-Chloro-5-chloromethylthiazole

ROLE: IMF (Industrial manufacture); SPN (Synthetic

preparation); PREP (Preparation)

(prepn. of 2-chloro-5-chloromethylthiazole)

INDEX TERM:

52829-72-8 95927-24-5

ROLE: RCT (Reactant)

(prepn. of 2-chloro-5-chloromethylthiazole)

ANSWER 2 OF 8 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1997:506647 CAPLUS

DOCUMENT NUMBER:

127:121721

TITLE:

Preparation of 2-chloro-5-chloromethylthiazole

INVENTOR(S):

O'sullivan, Anthony Cornelius; Gsell, Laurenz; Naef,

Rufolf; Senn, Marcel; Pitterna, Thomas; Wadsworth,

David John

PATENT ASSIGNEE(S):

Novartis Aq, Switz.; O'sullivan, Anthony Cornelius; Gsell, Laurenz; Naef, Rufolf; Senn, Marcel; Pitterna,

Thomas; Wadsworth, David John

SOURCE:

PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

INT. PATENT CLASSIF.:

MAIN:

C07D277-32

SECONDARY:

c07c333-20; c07c333-30

CLASSIFICATION:

28-7 (Heterocyclic Compounds (More Than One Hetero

Atom))

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1997:81466 CAPLUS

DOCUMENT NUMBER:

126:157459

TITLE:

Iminium carbonic acid derivative salts. IX. Synthesis

of N.S-containing heterobicycles from N-protected

2-methylthio-1,3-thiazinium and

2-methylthiothiazolium

salts. Part 1. Preparation of N-protected

2-methylthio-1, 3-thiazinium and

2-methylthiothiazolium

salts and their reaction with CH-acidic compounds

AUTHOR(S): Hanefeld, Wolfgang; Naeeni, Mahmoud; Schlitzer,

Martin

CORPORATE SOURCE:

Inst. Pharmazeutische Chem., Marburg/Lahn, D-35037,

Germany

SOURCE: J. Heterocycl. Chem. (1996), 33(6), 1785-1790

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal LANGUAGE: English

CLASSIFICATION: 28-14 (Heterocyclic Compounds (More Than One Hetero

Atom))

ABSTRACT:

N-Boc-protected 1,3-thiazine-2-thiones and thiazolidin-2-thiones were transformed into the corresponding 2-methylthio-1,3-thiazinium and 2-methylthiothiazolium salts by Me iodide or trimethyloxonium tetrafluoroborate. These activated species were reacted with CH-acidic compds.

forming ketene-N,S-acetals. The protection group was removed with trifluoroacetic acid to yield the N-unsubstituted ketene-N,S-acetals.

SUPPL. TERM: thiazinium methylthio reaction active methylene compd;

active methylene compd reaction thiazinium thiazolium; thiazolium methylthio reaction active methylene compd;

ketene acetal prepn

INDEX TERM: Carbon acids

ROLE: RCT (Reactant)

(prepn. of N-protected (methylthio)thiazinium and (methylthio)thiazolium salts and their reaction with

CH-acidic compds.)

INDEX TERM: 10099-74-8, Lead dinitrate

ROLE: CAT (Catalyst use); USES (Uses)

(prepn. of N-protected (methylthio)thiazinium and (methylthio)thiazolium salts and their reaction with

CH-acidic compds.)

INDEX TERM: 90-44-8, Anthrone 96-53-7, 2-Thiazolidinethione

109-77-3, Dicyanomethane 149-30-4, 2(3H)-

Benzothiazolethione 555-21-5 **614-16-4 769-42-6**

3759-28-2 5445-26-1 55**54-48-3 7605-28-9 17374-18-4**

52829-72-8

ROLE: RCT (Reactant)

(prepn. of N-protected (methylthio)thiazinium and (methylthio)thiazolium salts and their reaction with

CH-acidic compds.)

INDEX TERM: 74179-12-7P 187035-30-9P 187035-31-0P 187035-38-7P

187035-43-4P 187035-44-5P 187035-46-7P 187035-49-0P ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation)

(prepn. of N-protected (methylthio)thiazinium and (methylthio)thiazolium salts and their reaction with

CH-acidic compds.)

INDEX TERM: 187035-32-1P 187035-33-2P 187035-41-2P 187035-48-9P 187035-50-3P 187035-51-4P 187035-53-6P 187035-54-7P

187035-50-3P 187035-51-4P 187035-53-6P 187035-54-7P 187035-55-8P 187035-56-9P 187035-57-0P 187035-58-1P

187035-60-5P 187035-61-6P 187035-59-2P

ROLE: SPN (Synthetic preparation); PREP (Preparation) (prepn. of N-protected (methylthio)thiazinium and (methylthio) thiazolium salts and their reaction with CH-acidic compds.)

ANSWER 5 OF 8 CAPLUS COPYRIGHT 1999 ACS 1992:194203 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

116:194203

TITLE:

SOURCE:

Carbazoylations and thiocarbazoylations of

2-thioxothiazolidines

AUTHOR(S):

Hanefeld, Wolfgang; Von Goesseln, Hans Joachim Inst. Pharm. Chem., Philipps-Univ., Marburg, D-3550,

CORPORATE SOURCE: Germany

Arch. Pharm. (Weinheim, Ger.) (1992), 325(3), 173-5

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE:

Journal German

LANGUAGE:

28-7 (Heterocyclic Compounds (More Than One Hetero

Atom))

GRAPHIC IMAGE:

CLASSIFICATION:

For diagram(s), see printed CA Issue.

ABSTRACT:

Reactions of thiazolidine-2-thione with RR1NNR2CXCl (I, RR1N = morpholino, NMe2, R2 = Me, X = 0, S) led to S-substitution products II. Only II (X = 0) were thermally rearranged to N-carbazoyl derivs. Thiazoles III (X = 0, S; RR1N

= morpholino, piperidino, NMe2, PhCHN; R2 = Me, Ph) were obtained from I and 5-methylenethiazolidine-2-thione. Thiazolidine-2,4-dione only yields N-substitution products.

carbazoyl chloride thiazolidinethione thiazolidinedione; SUPPL. TERM:

thiocarbazovi chloride thiazolidinethione thiazolidinedione

140652-64-8P INDEX TERM:

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation)

(prepn. and isomerization of)

30760-42-0P 132540-60-4P 132540-61-5P 140652-63-7P INDEX TERM:

140652-66-0P 140652-67-1P 140652-68-2P 140652-65-9P 140652-70-6P 140652-71-7P 140652-72-8P 140652-69-3P

140652-73-9P

ROLE: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

96-53-7, 2-Thiazolidinethione 2295-31-0, INDEX TERM:

2,4-Thiazolidinedione 52829-72-8

ROLE: RCT (Reactant)

(reaction of, with carbazoyl and thiocarbazoyl

chlorides)

52185-41-8 132540-56-8 138019-95-1 138019-97-3 INDEX TERM:

ROLE: RCT (Reactant)

(reaction of, with methylenethiazolidinethione)

INDEX TERM:

38945-10-7 **132540-53-5** 132540-62-6 16420-13-6

140652-77-3

ROLE: RCT (Reactant)

(reaction of, with thiazolidines)

ANSWER 6 OF 8 CAPLUS COPYRIGHT 1999 ACS L6

ACCESSION NUMBER: 1991:632155 CAPLUS

DOCUMENT NUMBER: 115:232155

Investigations of 1,3-thiazines. 44. Novel TITLE:

rearrangement of 3,3'-carbonylbis(tetrahydro-2H-1,3-

thiazine-3-thione) and 3,3'-carbonylbis(2-

thiazolidinethione)

Hanefeld, Wolfgang; Von Goesseln, Hans Joachim AUTHOR(S):

Inst. Pharm. Chem., Univ. Marburg, Marburg, D-3550, CORPORATE SOURCE:

Fed. Rep. Ger.

Liebigs Ann. Chem. (1991), (10), 1095-7 SOURCE:

CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE:

Journal

LANGUAGE:

German

CLASSIFICATION:

28-14 (Heterocyclic Compounds (More Than One Hetero

Atom))

OTHER SOURCE(S):

CASREACT 115:232155

GRAPHIC IMAGE:

 $C1(CH_2)_nN$ $N(CH_2)_nCl$ II

ABSTRACT:

2-Thioxo-1,3-thiazine and -thiazolidine derivs. react with phosgene yielding N, N'-carbonylbis(heterocycles) [RSC(S)NR1]2CO [RR1 = (CH2)3, CH2CH2, C(:CH2)CH2, CH2CHMe]. With excess of phosgen I [RR1 = (CH2)3, CH2CH2] gave a novel rearrangement to the 3,5-bis(.omega.-chloroalkyl)-2,6-dithioxoperhydro-1,3,5-thiadiazine-4-ones II (n = 3, 2).

SUPPL. TERM:

rearrangement carbonylbisthiazinethione

carbonylthiazolidinethione; thiazinethione

carbonylbistetrahydro rearrangement; thiazolidinethione

carbonylbis rearrangement; thiadiazinone

chloroalkyldithioxo

INDEX TERM:

Rearrangement

(of carbonylbis(thiazolidinethione) and

-bis(tetrahydrothiazinethione))

INDEX TERM:

135646-87-6P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation)

(prepn. and reaction of, with phosgene)

INDEX TERM:

135646-84-3P 135646-80-9P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation)

(prepn. and rearrangement of)

INDEX TERM:

63910-14-5P 135646-79**-6**P 135646-81-0P 135646-82-1P 135646-86-5P 135646-88-7P 135646-85-4P 135646-83-2P

135646-89-8P

ROLE: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

INDEX TERM:

109-01-3, N-Methylpiperazine 110-91-8, Morpholine,

reactions

ROLE: RCT (Reactant) (reaction of, with

carbonylbis(tetrahydrothiazinethione))

INDEX TERM:

96-53-7, 2-Thiazolidinethione 1437-89-4,

4-Methyl-2-thiazolidinethione 5554-48-3 52829-72-8

ROLE: RCT (Reactant)

(reaction of, with phosgene)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 1999 ACS L6

ACCESSION NUMBER:

1985:166653 CAPLUS

DOCUMENT NUMBER:

102:166653

TITLE:

Alkylation, acylation, and carbamoylation products of

5-methylene-1, 3-thiazolidine-2-thione

AUTHOR(S):

Hanefeld, Wolfgang; Bercin, Erdogan

CORPORATE SOURCE:

Inst. Pharm. Chem., Univ. Marburg, Marburg, D-3550,

Fed. Rep. Ger.

Liebigs Ann. Chem. (1985), (1), 58-64 SOURCE:

CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE: 'LANGUAGE:

Journal

German

CLASSIFICATION:

28-7 (Heterocyclic Compounds (More Than One Hetero

Atom))

OTHER SOURCE(S):

CASREACT 102:166653

GRAPHIC IMAGE:

ABSTRACT:

Contrary to earlier reports, HC.tplbond.CCH2NH2 and CS2 gave 5-methylene-1,3-thiazolidine-2-thione (I), which was alkylated in the 5-position with NaH and MeI, CH2:CHCH2I, and PhCH2Br and acylated with, e.g., Accl, Bzcl, and Me2NCOCl to give, e.g., the derivs. II and III.

cycloaddn carbon disulfide propargylamine; SUPPL. TERM:

methylenethiazolidinethione acylation alkylation; thiazolidinethione methylene acylation alkylation

Cycloaddition reaction INDEX TERM:

(of carbon disulfide with propargylamine)

Acylation INDEX TERM:

Alkylation

(of methylenethiazolidinethione)

111-50-2 16420-13-6 79-44-7 83-01-2 88-11-9 INDEX TERM:

ROLE: RCT (Reactant)

(acylation with, of methylenethiazolidinethione)

556-56-9 INDEX TERM:

ROLE: RCT (Reactant)

(alkylation by, of methylenethiazolidinethione)

95927-06-3P 9**5927-0**5-2P 95927-07-4P INDEX TERM:

ROLE: PREP (Preparation); RCT (Reactant) (formation and elimination reaction of)

52829-72-8P INDEX TERM:

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation)

(prepn. and reactions of)

108-88-3P, preparation 15055-58-0P 17626-82-3P INDEX TERM:

95927-08-5P 95927-09-6P 95927-10-9P 21364-42-1P 95927-11-0P 95927-12-1P 95927-22-3P 95927-23-4P

95963-06-7P 9**5927-**24-5P

ROLE: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

2450-71-7 INDEX TERM:

ROLE: RCT (Reactant)

(reaction of, with carbon disulfide)

75-15-0, reactions INDEX TERM:

ROLE: RCT (Reactant)

(reaction of, with propargylamine)

ANSWER 8 OF 8 CAPLUS COPYRIGHT 1999 ACS 1.6

ACCESSION NUMBER: 1974:413439 CAPLUS

81:13439 DOCUMENT NUMBER:

Utilization of propargylamine in heterocyclic TITLE:

synthesis. Preparation of oxazoles, thiazoles, and

imidazoles

Eloy, F.; Deryckere, A. AUTHOR(S):

Cent. Etud. Ind. Pharm., Castaigne S. A., Toulouse, CORPORATE SOURCE:

Fr.

Chim. Ther. (1973), 8(4), 437-46 SOURCE:

CODEN: CHTPBA

DOCUMENT TYPE:

Journal

LANGUAGE:

French

CLASSIFICATION:

28-10 (Heterocyclic Compounds (More Than One Hetero

Atom))

GRAPHIC IMAGE:

For diagram(s), see printed CA Issue.

ABSTRACT:

The oxazole, imidazole, and thiazole ring systems were synthesized from HC.tplbond.CCH2NH2. Thus, 5-methyloxazoles I (R = 2,4-Cl2-C6H3, 4-O2NC6H4, 2-02NC6H4, 2-H2NC6H4, Ph2CH, 3-pyridyl) were obtained by cyclizing RCONHCH2C.tplbond.CH with Hg(OAc)2 or H2SO4. The imidazolinones II (R = Me, Et, Pr, CMe3, Ph) were obtained by cyclizing RNHCONHCH2C.tplbond.CH which were prepd. from HC.tplbond.CCH2NH2 and RNCO. I (R = NEt2, NPr2, NEtPh) were obtained by cyclizing RCONHCH2C.tplbond.CH which were prepd. from HC.tplbond.CCH2NH2 and RCOCl. The thiazoles III (R = Me, Et, CHMe2, allyl,

were prepd. from HC.tplbond.-CCH2NCS and RNH2 or from HC.tplbond.CCH2NH2 and

SUPPL. TERM:

oxazole; imidazolinone; thiazoline; propargylamine isocyanate; cyclization propargylamide propargylurea

INDEX TERM:

Ring closure and formation (of propargylamine derivs.)

INDEX TERM:

13870-70-7

ROLE: RCT (Reactant)

(alkylation of)

INDEX TERM:

52829-66-0 52829-67-1 18327-30-5 1**464-98**-8

ROLE: RCT (Reactant) (cyclization of)

INDEX TERM:

7458-03-9 14719-21-2 ROLE: RCT (Reactant)

(hydration of) **4943-83**-3P 5221-66-9P

INDEX TERM:

5221-67-0P 52829-63-7P 52829-64-8P 528**2**9-62-6P 43214-91-1P 52829-70-6P 52829-68-2P 52829-69-3P **52829-6**5-9P 52829-71-7P 52829-72-8P 52829-73-9P 52829-77-3P **52829-74-0P** 52829-75-1P 52829-76-2P 52829-79-5P 52829-80-8P 52829-81-9P 52829-78-4P 52829-84-2P 52829-85-3P 52829-86-4P 52829-82-0P **52829-8**7-5P 52829-88-6P 52829-89-7P 52829-90-0P 52829-94-4P 52829-91-1P 52829-92**-**2P 52829-93-3P 528**2**9-96-6P 53007-15-1P 52963-36-7P 52829-95-5P ROLE: SPN (Synthetic preparation); PREP (Preparation)

24044-23-3P

(prepn. of)

INDEX TERM:

54122-88-2

ROLE: RCT (Reactant)

(reaction of, with amines)

INDEX TERM:

100-61-8 75-31-0

ROLE: RCT (Reactant)

(reaction of, with propargyl isothiocyanate)

INDEX TERM:

103-72-0 109-90-0 118-48-9 57-06-7 88-10-8 610-14-0 556-61-6 624-83-9 627-36-1 542-85-8

33758-39-3 1609-86-5 19009-39-3

ROLE: RCT (Reactant)

(reaction of, with propargylamine)

INDEX TERM:

2450-71-7

ROLE: RCT (Reactant) (reactions of) 124-40-3, reactions

ROLE: RCT (Reactant) (with propargyl isothiocyanate)

INDEX TERM:

INDEX TERM:

75-15-0, reactions ROLE: RCT (Reactant) (with propargylamine)

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